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APPLICANT : KITASATO INST:THE;

INVENTOR : OMURA SATOSHI;

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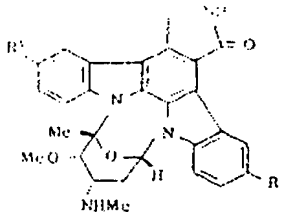
TITLE : STAUROSPORINE CARBOXYLIC ACID DERIVATIVE



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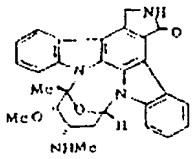

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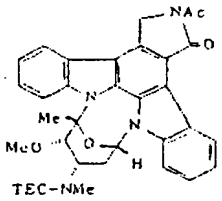

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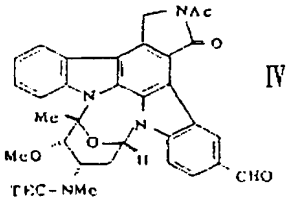

IV

ABSTRACT : NEW MATERIAL:The compound of formula I (R and R' are H, carboxyl, etc., provided that both R and R' are not H at the same time) and its salt.

EXAMPLE: 3-Carboxylstaurosporine.

USE: A blood platelet aggregation inhibiting agent useful for preventing relapse of thrombosis. It has weak vasoconstriction suppressing action and low toxicity.

PREPARATION: The objective compound can be produced by reacting staurosporine of formula II with β,β,β-trichloroethyl chloroformate to protect the 4'-N-site, dissolving the product in pyridine, reacting with acetic anhydride, reacting the resultant acetylated product of formula III (TEC is β,β,β- trichloroethoxycarbonyl) with $TiCl_4$ and α,α-dichloromethyl methyl ether to obtain the compound of formula IV, reacting the compound successively with an aqueous solution of potassium permanganate and an aqueous solution of NaOH, deacetylating the reaction product and finally reacting the product with zinc powder and dilute hydrochloric acid to remove the TEC group.